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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: SMALL MOLECULE MODULATORS OF THE 5-HT_{2A} SEROTONIN RECEPTOR USEFUL FOR THE PROPHYLAXIS AND TREATMENT OF DISORDERS RELATED THERETO

(57) Abstract: The present invention relates to certain pyrazole derivatives of Formula (I) and pharmaceutical compositions thereof that modulate the activity of the 5-HT_{2A} serotonin receptor. Formula (I): Compounds and pharmaceutical compositions thereof are directed to methods useful in the prophylaxis or treatment of reducing platelet aggregation, coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, atrial fibrillation, reducing the risk of blood clot formation, asthma or symptoms thereof, agitation or a symptom, behavioral disorders, drug induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome, manic disorder, organic or NOS psychosis, psychotic disorder, psychosis, acute schizophrenia, chronic schizophrenia and NOS schizophrenia and related disorders. The present invention also relates to the method of prophylaxis or treatment of 5-HT_{2A} serotonin receptor mediated disorders in combination with a dopamine D2 receptor antagonist such as haloperidol, administered separately or together.



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INTERNATIONAL SEARCH REPORT

International Application No
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A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D231/16 C07D231/14 C07D231/12 C07D401/12 C07D409/04
A61K31/415 A61K31/4155 A61P7/02 A61P9/10

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 99 52927 A (SMITH JULIAN R; FOSTER RICHARD J (GB); ARENA PHARMACEUTICALS INC) 21 October 1999 (1999-10-21) page 31, line 8 -page 37, line 9 page 39 -page 45 page 47 -page 49, line 4 page 51 -page 54 claims 14-20	1-166
A	WO 98 24785 A (KATO MASAYUKI; NODA YUKA (JP); SPEARS GLEN W (JP); HARADA KEIKO (J) 11 June 1998 (1998-06-11) claims 1,2,5-8; examples	1,45,88, 129-166
A	US 5 281 571 A (MISCHKE DEBORAH A ET AL) 25 January 1994 (1994-01-25) claims 1-3	1,45,88
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
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- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *&* document member of the same patent family

Date of the actual completion of the international search

2 October 2003

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10/10/2003

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	<p>WO 02 076464 A (ARENA PHARMACEUTICALS INC; MENZAGHI FREDERIQUE (US); BEHAN DOMINIC) 3 October 2002 (2002-10-03)</p> <p>claims</p> <p>-----</p>	<p>1-3,7,8, 16-21, 24-30, 33, 45-47, 51,52, 60-65, 68-74, 77,129, 130, 132-166</p>

INTERNATIONAL SEARCH REPORT

international application No.
PCT/US 03/02059

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 129-131, 133-154 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 03/02059

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